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## ORAL COMPOSITION

### Background of the Invention

#### Field of the Invention

5 [0001] The present invention relates to an oral composition which has an excellent shape-holding ability and dispersibility, and does not change a taste of juice after teeth brushing and, particularly, has excellent stability with time. Moreover, the present invention relates to an oral composition having an excellent ability of a cationic bactericide to reside  
10 on a tooth surface.

#### Description of the Related Art

[0002] Hitherto, a shape-holding ability and dispersibility in an oral cavity of an oral composition have been obtained by containing therein a  
15 thickening agent, which is generally and frequently used, such as carboxymethyl cellulose, methyl cellulose, hydroxyethyl cellulose, gum arabic, xanthan gum, carrageenan, sodium alginate, sodium polyacrylate and the like. In addition, an oral composition having better shape-holding ability and dispersibility in an oral cavity than those of  
20 prior art, which is prepared by containing therein finely-divided cellulose, has been proposed in JPA 58861/1993. However, such the oral composition has practical problems such as occurrence of solid-liquid separation during long term storage. In addition, in such the oral composition, sodium alkylsulfate is used as a surface active agent, which  
25 is known to change a taste of juice after teeth brushing.

[0003] On the other hand, a cationic bactericide is contained in various oral compositions in order to prevent an oral cavity disease such as a periodontal disease, dental caries and the like, because it has an excellent ability to be adsorbed to an oral tissue, an enhanced bactericidal activity and an enhanced plaque formation-suppressing effect.

[0004] However, there was a problem that, since the cationic bactericide has an electric charge, it forms an electrostatic complex with other anionic ingredients contained in the oral composition, and a bactericidal activity per unit of the cationic bactericide is reduced. In response thereto, attempts have been conducted to prevent reduction of the activity per unit of the cationic bactericide, by containing a nonionic or amphoteric surface active agent or a nonionic thickening agent in the oral compositions, but sufficient effects have not been obtained yet.

[0005] On the other hand, even the cationic bactericide exhibits a transient bactericidal effect in many cases, and it is contemplated that an activity of the bactericide can be totally enhanced by improving an ability of the cationic bactericide to reside on a tooth surface.

#### Brief Summary of the Invention

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[0006] A first object of the present invention is to provide an oral composition which retains better shape-holding ability, is excellent in dispersibility in an oral cavity, does not change a taste of juice after teeth brushing, and does not cause solid-liquid separation during long term storage and, additionally, which has an improved ability of the cationic

bactericide to reside on a tooth surface.

[0007] Moreover, a second object of the present invention is to provide an oral composition which can effectively prevent a periodontal disease and dental caries by enhancing the ability of a cationic bactericide to 5 reside on a tooth surface to enhance a residence bactericidal activity of the cationic bactericide.

[0008] In view of above former situations, the present inventors studied intensively, and found that an oral composition which has an excellent shape-holding ability and dispersibility in an oral cavity, does 10 not change a taste of juice after teeth brushing, and does not cause solid-liquid separation during long term storage, can be obtained by containing a combination of crystalline cellulose and a particular surface active agent, which resulted in completion of a first aspect of the present invention.

15 [0009] Moreover, in view of above latter situations, the present inventors studied intensively, and found that the ability of the cationic bactericide to reside on a tooth surface is significantly enhanced by containing a specific combination of the cationic bactericide and crystalline cellulose, which resulted in completion of a second aspect of 20 the present invention.

[0010] That is, in accordance with the first aspect, the present invention provides:

1. An oral composition comprising crystalline cellulose, and one or more surface active agents selected from the group consisting of alkyl 25 glycoside, polyglycerin fatty acid ester, sucrose fatty acid ester and

betaine;

2. The oral composition of according to (1), wherein the crystalline cellulose is contained at 0.2-10 % by weight;
  3. The oral composition according to (1) or (2), wherein the surface active agent is alkyl glycoside;
  4. The oral composition according to (3), wherein an alkyl chain of the alkyl glycoside is C8-C16 in length;
  5. The oral composition according to (1) or (2), wherein the surface active agent is polyglycerin fatty acid ester or sucrose fatty acid ester;
  - 10 6. The oral composition according to (5), wherein an alkyl chain of a fatty acid portion of the polyglycerin fatty acid ester or the sucrose fatty acid ester is C8-C16 in length;
  7. The oral composition according to (1) or (2), wherein the surface active agent is betaine;
  - 15 8. The oral composition according to (7), wherein the betaine is fatty acid amide propyl betaine;
  9. The oral composition according to (8), wherein an alkyl chain of a fatty acid portion of the fatty acid amide propyl betaine is C8-C16 in length; and
  - 20 10. The oral composition according to any one of (1)-(9), further comprising a cationic bactericide.
- [0011] According to the first aspect of the present invention, an oral composition can be provided, which has an excellent shape-holding ability and dispersibility in an oral cavity, does not change a taste of juice after teeth brushing and, particularly, has excellent stability with time, or

additionally has an enhanced ability of the cationic bactericide to reside on a tooth surface in addition to above characteristics.

[0012] Moreover, in accordance with the second aspect, the present invention provides:

- 5    11. An oral composition comprising a cationic bactericide and crystalline cellulose;
12. The oral composition according to (11), wherein the cationic bactericide is a quaternary ammonium salt;
13. The oral composition according to (11), wherein the cationic bactericide is a biguanide bactericide;
- 10    14. The oral composition according to (11), wherein the cationic bactericide is one or more selected from the group consisting of cetylpyridinium chloride, benzalkonium chloride, benzethonium chloride, chlorhexidine hydrochloride and chlorhexidine gluconate;
- 15    15. The oral composition according to any one of (11)-(14), wherein the cationic bactericide is contained at 0.001-10 % by weight;
16. The oral composition according to any one of (11)-(15), wherein the crystalline cellulose is contained at 0.2-10 % by weight;
- 20    17. The oral composition according to any one of (11)-(16), further comprising one or more surface active agents selected from nonionic and amphoteric surface active agents;
18. The oral composition according to (17), wherein the surface active agent is alkyl glycoside having an alkyl chain of C8-C16 in length; and
- 25    19. The oral composition according to (17), wherein the surface active agent is fatty acid amide propyl betaine having an alkyl chain of a fatty

acid portion of C8-C16 in length.

[0013] According to the second aspect of the present invention, an oral composition can be provided, which can significantly enhance the effect of the cationic bactericide to reside on the tooth surface and effectively  
5 prevent the oral cavity disease such as the periodontal disease, dental caries and the like.

#### Detailed Description of the Invention

10 [0014] The first and second aspects of the present invention are sequentially illustrated below.

[0015] Crystalline cellulose used in the first aspect of the present invention is not particularly limited as far as it is commercially available, but crystalline cellulose having an average particle diameter of 10  
15 micrometer or smaller is more preferable and crystalline cellulose having an average particle diameter of 2-6 micrometer is most preferable. When the average particle diameter of crystalline cellulose is larger than 10 micrometer, dispersibility of the oral composition in the oral cavity is deteriorated. In addition, an amount of crystalline cellulose to be  
20 contained is preferably 0.2-10 % by weight based on a total weight of the oral composition. When the amount of crystalline cellulose is smaller than 0.2 % by weight, an adequate shape-holding ability of the oral composition can not be achieved, being is not preferable. On the other hand, when the amount of crystalline cellulose is larger than 10 % by  
25 weight, a viscosity of the oral composition becomes too high, being not

preferable.

[0016] The surface active agent used in the first aspect of the present invention includes alkyl glycoside, polyglycerin fatty acid ester, sucrose fatty acid ester and betaine, and they may be used alone or in a combination of two or more. An amount of the surface active agent to be contained is preferably 0.5-5 % by weight based on a total weight of the oral composition. When the amount of the surface active agent to be contained is smaller than 0.5 % by weight, a foaming ability of the oral composition is reduced, and a use feeling is deteriorated, being not preferable. On the other hand, when the amount of the surface active agent to be contained is larger than 5 % by weight, a taste or a smell derived from the surface active agent becomes unnegligible, being not preferable.

[0017] Among above surface active agents, alkyl glycoside used in the present invention is not particularly limited, but an alkyl chain thereof is preferably C8-C16 in length. When the alkyl chain is shorter than C8, a bitter taste is produced in the oral composition, being not preferable. On the other hand, when the alkyl chain is longer than C16, the foaming ability of the oral composition is lowered and it becomes uncomfortable to use in some cases, being not preferable. Examples within such the chain length range include decyl glycoside, lauryl glycoside, myristyl glycoside and the like, and PLANTACARE 1200, PLANTACARE 2000 (Cognis), Oramix NS10, Oramix NS26 (SEPPIC) and the like are commercially available.

[0018] In addition, polyglycerin fatty acid ester used in the first

aspect of the present invention is not particularly limited, but an alkyl chain of a fatty acid portion thereof is preferably C8-C16 in length. When the alkyl chain is shorter than C8, a bitter taste is produced in the oral composition. On the other hand, when the alkyl chain is longer than C16, there is a tendency that the foaming ability of the oral composition is lowered. In addition, a polymerization degree of a polyglycerin portion is preferably equal to or greater than 4. When the polymerization degree is equal to or smaller than 3, there is a tendency that the foaming ability of the oral composition is lowered. Examples of such polyglycerin fatty acid ester include decaglycerin monolauric acid ester, tetraglycerin monolauric acid ester, decaglycerin monomyristic acid ester, tetraglycerin monomyristic acid ester and the like, and NIKKOL Decaglyn 1-L, NIKKOL Tetraglyn 1-L, NIKKOL Decaglyn 1-M (Nikko Chemicals, Co., Ltd.), Sunsoft Q-12W, Sunsoft Q-12T, Sunsoft Q-14W (Taiyo Kagaku Co., Ltd.) and the like are commercially available.

[0019] In addition, sucrose fatty acid ester used in the first aspect of the present invention is not particularly limited, but an alkyl chain of a fatty acid portion thereof is preferably C8-C16 in length. When the alkyl chain is shorter than C8, a bitter taste is produced in the oral composition. On the other hand, when the alkyl chain is longer than C16, the foaming ability of the oral composition is lowered and an oily taste is produced in some cases in the oral composition. Examples of such sucrose fatty acid ester include sucrose lauric acid ester, sucrose palmitic acid ester and the like, and DK ester S series (Daiichi Kogyo Seiyaku Co., Ltd.), Ryoto sugar ester (Mitsubishi Kagaku Foods Co.) and

the like are commercially available.

[0020] In addition, the betaine surface active agent used in the first aspect of the present invention is not particularly limited, but examples thereof include alkyl betaine, fatty acid amide propyl betaine, alkyl sulfobetaine, imidazolinium betaine and the like. Among them, fatty acid amide propyl betaine is preferable in view of its weak bitter taste. In addition, an alkyl chain of a fatty acid portion of fatty acid amide propyl betaine is preferably C8-C16 in length. When the alkyl chain is shorter than C8, a bitter taste is produced in the oral composition. On the other hand, when the alkyl chain is longer than C16, the foaming ability of the oral composition is lowered and an oily taste is produced in some cases in the oral composition. Examples of fatty acid amide propyl betaine having such the chain length range include coconut oil fatty acid amide propyl betaine, lauric acid amide propyl betaine, myristic acid amide propyl betaine and the like, and there are commercially available products such as SWANOL (Nikko Chemicals, Co., Ltd.), Obazolin (Toho Chemical Industry Co., Ltd.), RIKABION (New Japan Chemical Co., Ltd.), Tego-Betaine (Goldschmidt AG), Empigen (Albright & Wilson) and the like.

[0021] In addition, a cationic bactericide used in the first aspect of the present invention is not particularly limited, but a quaternary ammonium salt and a biguanide bactericide are preferable, and examples thereof include, for example, the quaternary ammonium salt such as cetylpyridinium chloride, benzalkonium chloride, benzethonium chloride, distearyltrimethyl ammonium chloride, stearyltrimethylbenzyl

ammonium chloride, stearyltrimethyl ammonium chloride, cetyltrimethyl ammonium chloride, lauryltrimethyl ammonium chloride, laurylpolydinium chloride and the like, and the biguanide bactericide such as chlorhexidine hydrochloride, chlorhexidine acetate, chlorhexidine gluconate, alexidin hydrochloride, alexidin acetate, alexidin gluconate and the like, and the like. Among them, cetylpyridinium chloride and benzalkonium chloride are more preferable, and cetylpyridinium chloride is particularly preferable. These cationic bactericides may be contained alone or in a combination of two or more. In addition, an amount of the cationic bactericide to be contained is preferably 0.001-10 % by weight, and more preferably 0.01-1 % by weight based on a total weight of the oral composition. When the amount of the cationic bactericide is smaller than 0.001 % by weight, a bactericidal effect of the oral composition can not be expected. On the other hand, when the amount of the cationic bactericide is larger than 10 % by weight, an irritation to an oral mucous membrane becomes strong, being not preferable in view of safety.

[0022] The oral composition of the first aspect of the present invention can be prepared in a form of toothpaste, wet dentifrices, liquid dentifrices, oral paste, gels, sprays, foams and the like. Ingredients, for example, active ingredients, foaming agents or detergents, polishing agents, thickening agents, humectants, preservatives, flavors, sweeteners, pH adjusting agents or the like may be properly contained in the oral composition of the first aspect of the present invention as far as they do not deteriorate the effects of the present invention, depending on a difference in the form of the oral composition.

[0023] Among them, examples of the active ingredient include a nonionic bactericide such as triclosan, isopropyl methylphenol and the like, a fluoride such as sodium fluoride, potassium fluoride, ammonium fluoride, tin fluoride, sodium monofluorophosphate and the like, an enzyme such as amylase, protease, lysozyme, dextranase and the like, a vitamin such as vitamins B, C and E and the like, a potassium salt and the like.

[0024] Examples of the foaming agent or detergent include an anionic surface active agent such as sodium N-acyl sarcosinate, N-acyl glutamate, sodium N-methyl-N-acyltaurine, sodium N-methyl-N-acylalanine, sodium alpha-olefin sulfonate and the like; a nonionic surface active agent such as polyoxyethylene fatty acid ester such as polyoxyethylene sorbitan fatty acid ester such as polyoxyethylene sorbitan monolaurate, or polyoxyethylene hydrogenated castor oil, lauric acid monoethanol amide, myristic acid monoethanol amide, polyoxyethylene higher alcohol-ether, polyoxyethylene (polyoxypropylene) copolymer, polyoxyethylene (polyoxypropylene) fatty acid ester and the like; an amphoteric surface active agent such as N-alkyldiamino ethyl glycine and the like, in addition to the surface active agents as described above. But, when the oral composition of the first aspect of the present invention contains the cationic bactericide, it is not preferable that it contains the anionic surface active agent.

[0025] Examples of the polishing agent include calcium hydrogenphosphate dihydrate or anhydrate, calcium phosphate, calcium tertiary phosphate, magnesium tertiary phosphate, calcium

pyrophosphate, hydroxyapatite, insoluble sodium metaphosphate, silicic acid hydrate, silicic acid anhydrate, silica gel, precipitated silica, aluminum silicate, zirconium silicate, calcium silicate, calcium carbonate, magnesium carbonate, alumina, aluminum hydroxide, calcium sulfate,  
5 methyl polymethacrylate and the like.

[0026] Examples of the thickening agent include an anionic thickening agent such as sodium carboxymethyl cellulose, sodium carboxymethyl hydroxyethyl cellulose and the like, a cellulose derivative such as hydroxyethyl cellulose, hydroxypropyl cellulose and the like,  
10 natural gum such as xanthan gum, tragacanth, gum karaya, gum arabic, carrageenan and the like, a cationic thickening agent such as O-[2-hydroxy-3-(trimethylammonio)  
propyl]hydroxyethyl cellulose chloride and the like, in addition to crystalline cellulose used in the present invention. But, when the oral  
15 composition of the first aspect of the present invention contains the cationic bactericide, it is not preferable that it contains the anionic thickening agent.

[0027] Examples of the humectant include glycerin, propylene glycol, 1,3-butylene glycol, sorbitol, polyethylene glycol, xylitol, polypropylene  
20 glycol and the like.

[0028] Examples of the preservative include paraoxybenzoic acid ester such as methyl paraben, propyl paraben and the like, benzoate, sodium benzoate and the like.

[0029] Examples of the flavor include menthol, carvone, eugenol,  
25 methyl salicylate, methyl eugenol, thymol, anethole, limonene, ocimene,

n-decyl alcohol, citronel, alpha-terpineol, methyl acetate, citronenyl acetate, cinneole, linalool, ethyl linalool, vanillin, thyme, nutmeg, spearmint oil, peppermint oil, lemon oil, orange oil, sage oil, rosemary oil, cinnamon oil, perilla oil, wintergreen oil, cloves oil, eucalyptus oil, piment oil, tea tree oil, Davana oil and the like.

- 5 [0030] Examples of the sweetener include saccharin sodium, acesulfame potassium, stevioside, neohesperidin dihydrochalcone, glycyrrhizin, perillartine, thaumatin, aspartyl phenylalanine methyl ester, methoxycinnamic aldehyde, xylit and the like.
- 10 [0031] Examples of the pH-adjusting agent include citric acid, phosphoric acid, malic acid, gluconic acid, maleic acid, aspartic acid, gluconic acid, succinic acid, glucuronic acid, fumaric acid, glutamic acid, adipic acid and salts thereof, hydrochloric acid, sodium hydroxide, potassium hydroxide, sodium silicate and the like.
- 15 [0032] These ingredients may be contained alone or in a combination of two or more in the oral composition of the first aspect of the present invention.
- [0033] Next, the cationic bactericide used in the second aspect of the present invention is not particularly limited, but a quaternary ammonium salt and a biguanide bactericide are preferable, and examples thereof include, for example, the quaternary ammonium salt such as cetylpyridinium chloride, benzalkonium chloride, benzethonium chloride, distearyldimethyl ammonium chloride, stearyltrimethylbenzyl ammonium chloride, stearyltrimethyl ammonium chloride, cetyltrimethyl ammonium chloride, lauryltrimethyl ammonium chloride,

laurylpypyridinium chloride and the like, and the biguanide bactericide such as chlorhexidine hydrochloride, chlorhexidine acetate, chlorhexidine gluconate, alexidin hydrochloride, alexidin acetate, alexidin gluconate and the like, and the like. These cationic bactericides may be contained  
5 alone or in a combination of two or more. In addition, an amount of the cationic bactericide to be contained is preferably 0.001-10 % by weight and more preferably 0.01-1 % by weight based on a total weight of the oral composition. When the amount of the cationic bactericide is smaller than 0.001 % by weight, an expected bactericidal effect is not exerted.  
10 On the other hand, when the amount of the cationic bactericide is larger than 10 % by weight, an irritation to an oral mucous membrane becomes strong, being not preferable in view of safety.

[0034] In addition, crystalline cellulose used in the second aspect of the present invention is not particularly limited as far as it is commercially available. An amount of crystalline cellulose to be contained is preferably 0.2-10 % by weight and more preferably 0.5-5 % by weight based on a total weight of the oral composition. When the amount of crystalline cellulose is smaller than 0.2 % by weight, an effect for enhancing residence of the bactericide on a tooth surface is lowered.  
20 On the other hand, when the amount of crystalline cellulose is larger than 10 % by weight, a viscosity of the oral composition becomes too high, being not preferable. In addition, an average particle diameter of crystalline cellulose is preferably equal to or smaller than 10 micrometer and more preferably 2-6 micrometer, in view of homogeneous dispersion  
25 in the oral composition. In addition, as a matter of fact, crystalline

cellulose having an average particle diameter smaller than 0.1 micrometer is hard to obtain.

[0035] In addition, the surface active agent used in the second aspect of the present invention is preferably a nonionic surface active agent, an 5 amphoteric surface active agent or a cationic surface active agent. When the anionic surface active agent is used in the oral composition of the present invention, stability of the cationic bactericide in a formulation may be deteriorated. More preferably, the surface active agent is the nonionic and amphoteric surface active agents. Examples of the 10 nonionic surface active agent include, for example, sugar fatty acid ester such as alkyl glycoside, sucrose fatty acid ester, maltose fatty acid ester, lactose fatty acid ester and the like, polyoxyethylene alkyl ether, fatty acid alkanol amide, polyoxyethylene sorbitan fatty acid ester such as polyoxyethylene sorbitan monolaurate, polyoxyethylene sorbitan 15 monostearate and the like, polyoxyethylene hydrogenated castor oil, sorbitan fatty acid ester, polyglycerin fatty acid ester such as decaglycerin monolauric acid ester, pentaglycerin distearic acid ester and the like, polyoxyethylene (polyoxypropylene) copolymer, and the like. Examples of the amphoteric surface active agent include, for example, 20 N-alkyldiamino ethyl glycine such as N-lauryldiamino ethyl glycine, N-myristyl dimino ethyl glycine and the like, fatty acid amide propyl betaine, N-alkyl-N-carboxymethyl ammonium betaine, sodium 2-alkyl-1-hydroxyethyl imidazoline betaine and the like. Among them, alkyl glycoside, sucrose fatty acid ester, polyoxyethylene hydrogenated 25 castor oil, polyglycerin fatty acid ester, polyoxyethylene

(polyoxypropylene) copolymer, N-alkyl diamino ethyl glycine and fatty acid amide propyl betaine are preferable. Among them, alkyl glycoside and fatty acid amide propyl betaine are particularly preferable. In addition, an alkyl chain of alkyl glycoside of C8-C16 in length is 5 preferable, and an alkyl chain of alkyl glycoside of C10-C14 in length is particularly preferable. In addition, an alkyl chain of a fatty acid portion of fatty acid amide propyl betaine is preferably C10-C14 in length, and particularly C12-C14 in length. An amount of the surface active agent to be contained is preferably 0.5-5 % by weight based on a total 10 weight of the oral composition.

[0036] The oral composition of the second aspect of the present invention can be prepared in a form of toothpaste, wet dentifrices, liquid dentifrices, oral paste, gels and the like. Ingredients, for example, active ingredients, polishing agents, thickening agents, humectants, preservatives, flavors, sweeteners, pH adjusting agents or the like may be 15 properly contained in the oral composition of the second aspect of the present invention as far as they do not deteriorate the effects of the present invention, depending on a difference in the form of the oral composition.

[0037] Among them, examples of the active ingredient include a nonionic bactericide such as triclosan, isopropyl methylphenol and the like, a fluoride such as sodium fluoride, potassium fluoride, ammonium fluoride, tin fluoride, sodium monofluorophosphate and the like, an enzyme such as amylase, protease, lysozyme, dextranase and the like, a 20 vitamin such as vitamins B, C and E and the like, an astringent such as

potassium nitrate, aluminum lactate and the like, and the like, in addition to the cationic bactericide such as the quaternary ammonium salt and the biguanide bactericide as described above.

[0038] Examples of the polishing agent include calcium hydrogenphosphate, dihydrate and anhydrate, calcium phosphate, calcium tertiary phosphate, magnesium tertiary phosphate, calcium pyrophosphate, hydroxyapatite, insoluble sodium metaphosphate, silicic acid hydrate, silicic acid anhydrate, silica gel, precipitated silica, aluminum silicate, zirconium silicate, calcium silicate, calcium carbonate, magnesium carbonate, alumina, aluminum hydroxide, calcium sulfate, methyl polymethacrylate and the like. Among them, calcium hydrogenphosphate, dihydrate and anhydrate, calcium phosphate, calcium tertiary phosphate, magnesium tertiary phosphate, calcium pyrophosphate, hydroxyapatite, calcium carbonate and magnesium carbonate are preferable.

[0039] Examples of the thickening agent include a cellulose derivative such as hydroxyethyl cellulose, hydroxypropyl cellulose and the like, a natural gum such as carrageenan, xanthan gum, tragacanth, gum karaya, gum arabic, gellan gum and the like, a synthetic thickening agent such as poly (vinylalcohol), sodium polyacrylate and the like, an inorganic thickening agent such as viscosity-increasing silica, veegum and the like, and the like, in addition to crystalline cellulose used in the oral composition of the present invention.

[0040] Examples of the humectant include glycerin, ethylene glycol, propylene glycol, 1,3-butylene glycol, polyethylene glycol, polypropylene

glycol, sorbit, xylit, maltit, lactit, palatinit and the like.

[0041] Examples of the preservative include paraoxybenzoic acid ester such as methyl paraben, propyl paraben and the like, benzoate, sodium benzoate and the like.

5 [0042] Examples of the flavor include menthol, carvone, eugenol, methyl salicylate, methyl eugenol, thymol, anethole, limonene, ocimene, n-decyl alcohol, citronel, alpha-terpineol, methyl acetate, citronenyl acetate, cineole, linalool, ethyl linalool, vanillin, thyme, nutmeg, spearmint oil, peppermint oil, lemon oil, orange oil, sage oil, rosemary oil,  
10 cinnamon oil, perilla oil, wintergreen oil, cloves oil, eucalyptus oil, piment oil, tea tree oil, Davana oil and the like.

[0043] Examples of the sweetener include saccharin sodium, acesulfame potassium, stevioside, neohesperidin dihydrochalcone, glycyrrhizin, perillartine, thaumatin, aspartyl phenylalanine methyl  
15 ester, methoxycinnamic aldehyde, xylit and the like.

[0044] Examples of the pH-adjusting agent include citric acid, phosphoric acid, malic acid, gluconic acid, maleic acid, aspartic acid, gluconic acid, succinic acid, glucuronic acid, fumaric acid, glutamic acid, adipic acid and salts thereof, hydrochloric acid, sodium hydroxide,  
20 potassium hydroxide, sodium silicate and the like.

[0045] These ingredients may be contained alone or in a combination of two or more in the oral composition of the second aspect of the present invention.

- [0046] The first and second aspects of the present invention will be further illustrated in detail by referring to the following Examples, but the present invention is not limited to such the Examples. In the Examples, the term "%" means "% by weight", unless otherwise indicated.
- 5 [0047] Each oral composition of the present invention was prepared according to the formulation shown in Table 1 by conventional procedures. Each composition obtained was tested for stability with time at room temperature for one month. The results thereof are shown in Table 1.
- [0048] Evaluation criteria
- 10 Stability with time after one month storage at room temperature:
- O: No solid-liquid separation was observed
- X: Solid-liquid separation was observed

[Table 1]  
[0049]

	Ingredient (%)	Comparative Example				Example
		1	2	3	4	
Crystalline cellulose (average particle diameter 4 micrometer)	3	3	3	3	1	3
Hydroxyethyl cellulose	1	3	1	1	1	1
Xanthan gum	-	-	1	1	-	-
Poloxamer 238 (Pluronic F88)	3	3	-	-	-	-
Polyoxyethylene (60 E.O.) hydrogenated castor oil (HCO-60)	-	-	3	-	-	-
Lauryl glycoside	-	-	-	3	-	-
Decaglycerin lauric acid ester	-	-	-	-	3	-
Sucrose lauric acid ester	-	-	-	-	-	3
Coconut oil fatty acid propyl betaine	-	-	-	-	-	-
Calcium hydrogen phosphate	30	30	30	30	30	30
Perfume	1	1	1	1	1	1
Saccharin sodium	0.1	0.1	0.1	0.1	0.1	0.1
Titanium oxide	0.3	0.3	0.3	0.3	0.3	0.3
Concentrated glycerin	20	20	20	20	20	20
Purified water	remainder	remainder	remainder	remainder	remainder	remainder
Stability with time	X	X	X	X	0	0

[0050] As shown in Table 1, in Comparative Examples 1-3, the oral compositions containing Pluronic F88 as the surface active agent caused solid-liquid separation even when an amount of hydroxyethyl cellulose was increased, or even when xanthan gum as another thickening agent 5 was contained together. In addition, the oral composition caused solid-liquid separation even when HCO-60 was used as the surface active agent.

[0051] On the other hand, in Examples 1-4, the oral compositions containing lauryl glycoside, polyglycerin lauric acid ester, sucrose lauric 10 acid ester or coconut oil fatty acid amide propyl betaine as the surface active agent, did not cause solid-liquid separation even after one month storage at room temperature, which had excellent stability with time.

[0052] Example 5

An oral composition (toothpaste) of the following formulation was 15 prepared according to the conventional procedures:

Ingredient Name	Amount (%)
Crystalline cellulose (average particle diameter 3.7 micrometer)	3.0
20 Decyl glycoside	2.0
Silicic acid anhydrate	30.0
Sodium carboxymethyl cellulose	2.0
Tocopherol acetate	0.05
Sodium fluoride	0.2
25 Perfume	1.0

Saccharin sodium	0.1
Titanium oxide	0.3
Sorbit solution	30.0
Purified water	remainder

5

The oral composition obtained had an excellent shape-holding ability and dispersibility in an oral cavity, did not change a taste of juice after teeth brushing and had excellent stability with time.

[0053] Example 6

- 10 An oral composition (toothpaste) of the following formulation was prepared according to the conventional procedures:

Ingredient Name	Amount (%)
Crystalline cellulose	
15 (average particle diameter 3.7 micrometer)	3.0
Coconut oil fatty acid amide propyl betaine	0.8
Calcium hydrogenphosphate	35.0
Cetylpyridinium chloride	0.1
Hydroxyethyl cellulose	2.0
20 Tocopherol acetate	0.05
Sodium monofluorophosphate	0.72
Perfume	1.0
Saccharin sodium	0.1
Titanium oxide	0.3
25 Concentrated glycerin	15.0

Purified water

remainder

The oral composition obtained had an excellent shape-holding ability and dispersibility in an oral cavity, did not change a taste of juice after 5 teeth brushing and had excellent stability with time. In addition, the oral composition obtained had an enhanced effect of cetylpyridinium chloride to reside on a tooth surface.

**[0054] Example 7**

An oral composition (toothpaste) of the following formulation was 10 prepared according to the conventional procedures:

Ingredient Name	Amount (%)
Crystalline cellulose (average particle diameter 3.7 micrometer)	2.0
15 Sucrose lauric acid ester	2.0
Calcium pyrophosphate	35.0
Xanthan gum	0.5
Sodium monofluorophosphate	0.72
Perfume	1.0
20 Saccharin sodium	0.1
Titanium oxide	0.3
Concentrated glycerol	18.0
Polyethylene glycol	5.0
Purified water	remainder

The oral composition obtained had an excellent shape-holding ability and dispersibility in an oral cavity, did not change a taste of juice after teeth brushing and had excellent stability with time.

**[0055] Example 8**

- 5 An oral composition (toothpaste) of the following formulation was prepared according to the conventional procedures:

Ingredient Name	Amount (%)
Crystalline cellulose	
10 (average particle diameter 3.7 micrometer)	2.0
Decaglycerin lauric acid ester	2.0
Calcium carbonate	25.0
Sodium carboxymethyl cellulose	1.0
Perfume	1.0
15 Saccharin sodium	0.1
Titanium oxide	0.3
Concentrated glycerin	10.0
Xylitol	10.0
Purified water	remainder

20

The oral composition obtained had an excellent shape-holding ability and dispersibility in an oral cavity, did not change a taste of juice after teeth brushing and had excellent stability with time.

**[0056] Example 9**

- 25 An oral composition (gel) of the following formulation was prepared

according to the conventional procedures:

Ingredient Name	Amount (%)
Crystalline cellulose	
5 (average particle diameter 3.7 micrometer)	4.0
Decyl glycoside	1.0
Sodium fluoride	0.2
Concentrated glycerin	40.0
Polyethylene glycol	5.0
10 Propylene glycol	8.0
Perfume	1.0
Saccharin sodium	0.1
Disodium hydrogenphosphate	0.12
Sodium dihydrogenphosphate	0.01
15 Purified water	remainder

The oral composition obtained had an excellent shape-holding ability and dispersibility in an oral cavity, did not change a taste of juice after teeth brushing and had excellent stability with time.

20 [0057] Example 10

An oral composition (gel) of the following formulation was prepared according to the conventional procedures:

Ingredient Name	Amount (%)
25 Crystalline cellulose	

	(average particle diameter 3.7 micrometer)	5.0
	Myristic acid amide propyl betaine	0.5
	Tetraglycerin lauric acid ester	1.0
	Tocopherol acetate	0.1
5	Concentrated glycerin	30.0
	Polyethylene glycol	4.0
	1,3-Butylene glycol	2.0
	Perfume	1.0
	Saccharin sodium	0.1
10	Disodium hydrogencitrate	0.12
	Sodium dihydrogencitrate	0.01
	Purified water	remainder

The oral composition obtained had an excellent shape-holding ability  
 15 and dispersibility in an oral cavity, did not change a taste of juice after  
 teeth brushing and had excellent stability with time.

[0058] Example 11

An oral composition (toothpaste) of the following formulation was  
 prepared according to the conventional procedures:

20

	Ingredient Name	Amount (%)
	Crystalline cellulose	
	(average particle diameter 5.8 micrometer)	0.5
	Lauryl glycoside	2.5
25	Calcium hydrogenphosphate dihydrate	40.0

Hydroxyethyl cellulose	1.0
Perfume	1.0
Saccharin sodium	0.2
Sorbitol	25.0
5 Purified water	remainder

The oral composition obtained had an excellent shape-holding ability and dispersibility in an oral cavity, did not change a taste of juice after teeth brushing and had excellent stability with time.

10 [0059] Example 12

An oral composition (toothpaste) of the following formulation was prepared according to the conventional procedures:

	Ingredient Name	Amount (%)
15	Crystalline cellulose (average particle diameter 5.8 micrometer)	2.0
	Decyl glycoside	1.5
	Silicic acid hydrate	20.0
	Carrageenan	1.0
20	Perfume	1.0
	Saccharin sodium	0.1
	Sorbitol	15.0
	Concentrated glycerin	10.0
	Purified water	remainder

The oral composition obtained had an excellent shape-holding ability and dispersibility in an oral cavity, did not change a taste of juice after teeth brushing and had excellent stability with time.

**[0060] Example 13**

- 5 An oral composition (toothpaste) of the following formulation was prepared according to the conventional procedures:

Ingredient Name	Amount (%)
Crystalline cellulose	
10 (average particle diameter 8.6 micrometer)	1.0
Coconut oil fatty acid amide propyl betaine	0.8
Silicic acid anhydride	15.0
Aluminum hydroxide	5.0
Sodium polyacrylate	0.5
15 Perfume	1.0
Saccharin sodium	0.2
Polyethylene glycol	5.0
Concentrated glycerin	10.0
Purified water	remainder
20	

The oral composition obtained had an excellent shape-holding ability and dispersibility in an oral cavity, did not change a taste of juice after teeth brushing and had excellent stability with time.

**[0061] Experiment**

- 25 Method of Experiment

Measurements of an amount of cetylpyridinium chloride residing on hydroxyapatite powder

[0062] A 50 mg of hydroxyapatite (DNA Grade Bio-Gel HTP; manufactured by BIO-RAD) was immersed in 2 ml of human saliva, which had been sterilized with ultraviolet rays, at 37 centigrade for 15 hours to allow to form an artificial pellicle on a hydroxyapatite surface. Thereafter, a mixture of hydroxyapatite and human saliva was centrifuged (3000 rpm, 10 min) and a supernatant was discarded. Then, residual hydroxyapatite was immersed at 37 centigrade for 15 minutes in 2 ml of a supernatant of a four times-diluted slurry from each of the oral compositions of Examples 14-18 and Comparative Examples 5-8, in which 0.3 % by weight of cetylpyridinium chloride (CPC) and various amounts and various kinds of thickening agents and surface active agents had been contained. Then, a mixture was centrifuged (3000 rpm, 10 minutes), and a supernatant was discarded. Then, 2 ml of fresh distilled water was added to the residue, the mixture was stirred and centrifuged (3000 rpm, 10 min), and the supernatant was discarded. Again, 2 ml of fresh distilled water was added to the residue, the mixture was stirred and centrifuged (3000 rpm, 10 minutes), and the supernatant was discarded. Next, cetylpyridinium chloride which had adsorbed onto hydroxyapatite was extracted with an extraction solution as described below, and an amount of cetylpyridinium chloride residing on 50 mg of hydroxyapatite was quantitatively measured with high performance liquid chromatography. The results thereof are shown in Table 2.

[0063] The extraction solution was prepared by mixing a solution in which 2.88 g of sodium lauryl sulfate had been dissolved per 1 liter of 0.02 M citrate buffer, pH 3 with acetonitrile in a ratio of 1:3.

[Table 2]

Ingredient	Example 14	Example 15	Example 16	Example 17	Example 18	Comparativ e Ex. 5	Comparativ e Ex. 6	Comparativ e Ex. 7	Comparativ e Ex. 8
Cetylpyridinium chloride	0.3	0.3	0.3	0.3	0.3	0.3	0.3	0.3	0.3
Crystalline cellulose	4	4	4	3	3	-	-	-	-
Hydroxyethyl cellulose	-	-	1	1	4	4	4	4	-
Sodium carboxymethyl cellulose	-	-	-	-	-	-	-	-	1.5
Decyl glycoside	1	:	-	1	-	1	-	-	-
Lauryl glycoside	-	:	-	-	2	-	-	-	-
Coconut oil fatty acid amide propyl betaine	-	1	-	-	-	1	-	-	1
Polyoxyethylene castor oil	-	-	1	-	-	-	-	1	-
Calcium hydrogen phosphate dihydrate	35	35	35	35	35	35	35	35	35
Saccharin sodium	0.3	0.3	0.3	0.3	0.3	0.3	0.3	0.3	0.3
Titanium oxide	0.3	0.3	0.3	0.3	0.3	0.3	0.3	0.3	0.3
Perfume	0.9	0.9	0.9	0.9	0.9	0.9	0.9	0.9	0.9
Glycerin	15	15	15	15	15	15	15	15	15
Ion exchanged water	remainder	remainder	remainder	remainder	remainder	remainder	remainder	remainder	remainder
CPC remaining amount (microgram/50 mg hydroxyapatite)	863	583	194	904	517	409	367	180	48

[0065] From the results in Table 2, it is found that an amount of cetylpyridinium chloride residing on a tooth surface is significantly increased with the oral compositions of Examples 14-18, in which cetylpyridinium chloride and crystalline cellulose have been specifically combined, as compared with those of Comparative Examples 5-8, in which the same amount of cetylpyridinium chloride and other cellulose derivatives have been combined. Moreover, it is also found that alkyl glycoside and betaine are preferable as the surface active agent to be contained in addition to the above ingredients, because they increase an amount of cetylpyridinium chloride residing on a tooth surface, as compared with other surface active agents.

[0066] Example 19

An oral composition (toothpaste) of the following formulation was prepared according to the conventional procedures:

15

	Ingredient Name	Amount (%)
	Benzethonium chloride	0.1
	Crystalline cellulose (average particle diameter 3.7 micrometer)	2.0
20	Triclosan	0.1
	Hydroxypropylmethyl cellulose	1.0
	Lauryl glycoside	2.0
	Calcium carbonate	40.0
	Titanium oxide	0.2
25	Saccharin sodium	0.2

Sorbit solution	30.0
Perfume	1.0
Purified water	remainder

- 5 The oral composition obtained could increase an amount of the cationic bactericide residing on a tooth surface and could effectively prevent an oral cavity disease such as a periodontal disease, dental caries and the like.

[0067] Example 20

- 10 An oral composition (toothpaste) of the following formulation was prepared according to the conventional procedures:

Ingredient Name	Amount (%)
Cetylpyridinium chloride	0.1
15 Crystalline cellulose (average particle diameter 3.7 micrometer)	3.0
Potassium nitrate	1.0
Hydroxyethyl cellulose	2.0
Coconut oil fatty acid amide propyl betaine	1.0
20 Concentrated glycerin	10.0
Sorbit solution	10.0
Titanium oxide	0.3
Stevioside	0.2
Sodium benzoate	0.1
25 Xylitol	10.0

Perfume	0.8
Purified water	remainder

The oral composition obtained could increase an amount of the cationic  
 5 bactericide residing on a tooth surface and could effectively prevent an  
 oral cavity disease such as a periodontal disease, dental caries and the  
 like.

[0068] Example 21

An oral composition (gel) of the following formulation was prepared  
 10 according to the conventional procedures:

Ingredient Name	Amount (%)
Cetylpyridinium chloride	0.1
Crystalline cellulose	
15 (average particle diameter 3.7 micrometer)	4.0
Decyl glycoside	1.0
Concentrated glycerin	40.0
Polyethylene glycol	5.0
Propylene glycol	3.0
20 Perfume	1.0
Saccharin sodium	0.1
Disodium hydrogenphosphate	0.12
Sodium dihydrogenphosphate	0.01
Purified water	remainder

The oral composition obtained could increase an amount of the cationic bactericide residing on a tooth surface and could effectively prevent an oral cavity disease such as a periodontal disease, dental caries and the like.

5 [0069] Example 22

An oral composition (gel) of the following formulation was prepared according to the conventional procedures:

	Ingredient Name	Amount (%)
10	Chlorhexidine hydrochloride	0.2
	Crystalline cellulose (average particle diameter 3.7 micrometer)	5.0
	Myristic acid amide propyl betaine	0.5
	Tetraglycerin lauric acid ester	1.0
15	Tocopherol acetate	0.1
	Concentrated glycerin	30.0
	Polyethylene glycol	4.0
	1,3-Butylene glycol	2.0
	Perfume	1.0
20	Saccharin sodium	0.1
	Disodium hydrogencitrate	0.12
	Sodium dihydrogencitrate	0.01
	Purified water	remainder
25	The oral composition obtained could increase an amount of the cationic	

bactericide residing on a tooth surface and could effectively prevent an oral cavity disease such as a periodontal disease, dental caries and the like.

[0070] Example 23

- 5 An oral composition (toothpaste) of the following formulation was prepared according to the conventional procedures:

	Ingredient Name	Amount (%)
	Benzalkonium chloride	0.05
10	Crystalline cellulose (average particle diameter 5.8 micrometer)	0.5
	Sucrose myristic acid ester	4.0
	Magnesium carbonate	5.0
	Calcium carbonate	12.0
15	Guar gum	1.0
	Perfume	1.0
	Saccharin sodium	0.2
	Concentrated glycerin	20.0
	Purified water	remainder

20

The oral composition obtained could increase an amount of the cationic bactericide residing on a tooth surface and could effectively prevent an oral cavity disease such as a periodontal disease, dental caries and the like.

25 [0071] Example 24

An oral composition (gel) of the following formulation was prepared according to the conventional procedures:

	<u>Ingredient Name</u>	<u>Amount (%)</u>
5	Chlorhexidine gluconate	0.2
	Crystalline cellulose (average particle diameter 8.6 micrometer)	5.0
	Myristyl glycoside	4.0
	Hydroxypropylmethyl cellulose	1.0
10	Perfume	0.5
	Saccharin sodium	0.2
	Concentrated glycerin	20.0
	Propylene glycol	3.0
	Purified water	remainder
15		

The oral composition obtained could increase an amount of the cationic bactericide residing on a tooth surface and could effectively prevent an oral cavity disease such as a periodontal disease, dental caries and the like.

- 20 [0072] According to the first aspect of the present invention, an oral composition can be provided, which has an excellent shape-holding ability and dispersibility in an oral cavity, does not change a taste of juice after teeth brushing, and particularly, excellent stability with time, or which has an enhanced ability of a cationic bactericide to reside on a  
25 tooth surface.

[0073] Moreover, according to the second aspect of the present invention, an oral composition can be provided, which can significantly increase an amount of a cationic bactericide residing on a tooth surface and effectively prevent an oral cavity disease such as a periodontal 5 disease, dental caries and the like.